Valdecoxib

Cat. No.: HY-15762

CAS No.: 181695-72-7

Molecular Formula: $C_{16}H_{14}N_2O_3S$

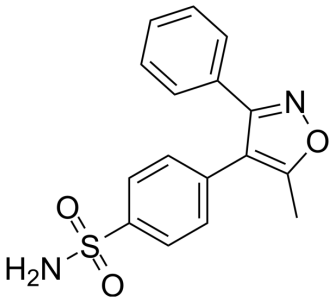
Molecular Weight: 314.36

Target: COX; Endogenous Metabolite

Pathway: Immunology/Inflammation; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 34 mg/mL (108.16 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1811 mL	15.9053 mL	31.8107 mL
5 mM	0.6362 mL	3.1811 mL	6.3621 mL
10 mM	0.3181 mL	1.5905 mL	3.1811 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.95 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.95 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Valdecoxib is a highly potent and selective inhibitor of COX-2, with IC_{50}s of 5 nM and 140 μM for COX-2 and COX-1, respeceively. Valdecoxib can be used in the research of arthritis and pain.

IC_{50} & Target

COX-2	COX-1	Human Endogenous Metabolite
5 nM (IC_{50})	140 μM (IC_{50})	

In Vitro	Valdecoxib (Compound 2) is a highly potent, selective and orally active inhibitor of COX-2, with IC_{50}s of 5 nM and 140 μM for COX-2 and COX-1, respeceively[1]. Valdecoxib (10, 100 μM) inhibits LPS-induced proliferation of endothelial cells and bFGF secretion in a dose-dependent manner. Valdecoxib stimulates VEGF formation via HMEC-1 under inflammatory conditions[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Valdecoxib (Compound 2) shows potent oral activity in an acute antiinflammatory assay (rat carrageenan foot pad edema; ED_{50} = 10.2 ± 1.4 mg/kg). Valdecoxib also has chronic antiinflammatory activity in the rat adjuvant arthritis model, with an ED_{50} of 0.032 ± 0.002 mg/kg/day[1]. Valdecoxib (10 mg/kg, i.p.) significantly attenuates the behavioral and biochemical (oxidative damage) alterations in chronic-stressed mice[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	HMEC-1 cells proliferation is measured using the MTT conversion method. Cells are seeded (50.000 cells/well) into 96-well plates. The cells are incubated for 24 h with LPS 100 μg/mL, $CoCl_2$ 200 μM, Valdecoxib 10 or 100 μM, LPS and Valdecoxib or $CoCl_2$ and Valdecoxib or without tested chemicals (control group). All the substances are added at the same time. After incubation, 50 μL MTT (1 mg/mL) is added and the plates are incubated at 37°C for 4 h. At the end of the experiment, cells are exposed to 100 μL DMSO, which enables the release of the blue reaction product-formazan. The absorbance at 570 nm is read on a microplate reader and results are expressed as a percentage of the absorbance measured in control cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	Mice[3] The drugs including naproxen (14 mg/kg, i.p.), rofecoxib (5 mg/kg, i.p.), meloxicam (5 mg/kg, i.p.), nimesulide (5 mg/kg, i.p.) and Valdecoxib (10 mg/kg, i.p.) are used in the assay. The animals are randomized into 7 groups (n=10 in each group), including the naive group, in which the mice only receive vehicle for 15 d without forced swimming session; the control (chronically stressed) group, in which mice receive vehicle 30 min before the forced swimming session (6 min) for 15 d; the naproxen (14 mg/kg) group; the Valdecoxib (10 mg/kg) group; the rofecoxib (5 mg/kg) group; the meloxicam (5 mg/kg) group; and the nimesulide (5 mg/kg) group. Drugs are suspended in 0.25% carboxymethylcellulose (CMC) and administered intraperitoneally, 30 min before the forced swimming session for 15 consecutive days[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- EMBO Rep. 2022 Apr 11;e53932.
- J Pharm Biomed Anal. 2018 May 22;158:1-7.

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REFERENCES

[1]. Talley JJ, et al. 4-[5-Methyl-3-phenylisoxazol-4-yl]- benzenesulfonamide, valdecoxib: a potent and selective inhibitor of COX-2. J Med Chem. 2000 Mar 9;43(5):775-7.

[2]. Wiktorowska-Owczarek A. The effect of valdecoxib on the production of growth factors evoked by hypoxia and bacterial lipopolysaccharide in HMEC-1 cells. Adv Clin Exp Med. 2013 Nov-Dec;22(6):795-800.

[3]. Kumar A, et al. Protective effects of selective and non-selective cyclooxygenase inhibitors in an animal model of chronic stress. Neurosci Bull. 2010 Feb;26(1):17-27.

Caution: Product has not been fully validated for medical applications. For research use only.

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